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**(54) Stable complexes of poorly soluble compounds**

(57) Stable water-insoluble complexes of poorly soluble compounds molecularly dispersed in water-insoluble ionic polymers are disclosed. Useful insoluble ionic polymers have a molecular weight greater than about 80,000 D and a glass transition temperature equal to or greater than about 50°. The compounds are microprecipitated in the ionic polymers in amorphous form. The complexes according to the present invention significantly increase the bioavailability of poorly soluble therapeutically active compounds.

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# PARTIAL EUROPEAN SEARCH REPORT

Application Number

which under Rule 45 of the European Patent Convention EP 99 11 7908  
shall be considered, for the purposes of subsequent  
proceedings, as the European search report

DOCUMENTS CONSIDERED TO BE RELEVANT			
Category	Citation of document with indication, where appropriate, of relevant passages	Relevant to claim	CLASSIFICATION OF THE APPLICATION (Int.Cl.7)
E	WO 99 47518 A (F.HOFFMANN-LA ROCHE AG.) 23 September 1999 (1999-09-23) * example 4 * * claims 1-8 *	16,17, 37-39,42	A61K47/32 A61K31/404 A61K31/496 A61K31/5517 A61K31/166 A61K31/15
X	WO 98 04551 A (F.HOFMANN-LA ROCHE AG.) 5 February 1998 (1998-02-05) * page 15 - page 16 * * claims 1-13,26,29 *	16,17, 37-39,42	
			TECHNICAL FIELDS SEARCHED (Int.Cl.7)
			A61K
INCOMPLETE SEARCH			
<p>The Search Division considers that the present application, or one or more of its claims, does/do not comply with the EPC to such an extent that a meaningful search into the state of the art cannot be carried out, or can only be carried out partially, for these claims.</p> <p>Claims searched completely :</p> <p>Claims searched incompletely :</p> <p>Claims not searched :</p> <p>Reason for the limitation of the search:</p> <p>see sheet C</p>			
Place of search		Date of completion of the search	Examiner
MUNICH		14 June 2000	Economou, D
CATEGORY OF CITED DOCUMENTS			
<p>X : particularly relevant if taken alone</p> <p>Y : particularly relevant if combined with another document of the same category</p> <p>A : technological background</p> <p>O : non-written disclosure</p> <p>P : intermediate document</p>		<p>T : theory or principle underlying the invention</p> <p>E : earlier patent document, but published on, or after the filing date</p> <p>D : document cited in the application</p> <p>L : document cited for other reasons</p> <p>&amp; : member of the same patent family, corresponding document</p>	

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INCOMPLETE SEARCH  
SHEET C

Application Number  
EP 99 11 7908

Claim(s) searched completely:  
16-17,37-39,42

Claim(s) searched incompletely:  
1-15,18-36,40-41,43-46

Reason for the limitation of the search:

Present claims 1-15, 18-36, 40-41, 43-46 relate to an extremely large number of possible compounds, products and methods. Support within the meaning of Article 84 EPC and/or disclosure within the meaning of Article 83 EPC is to be found, however, for only a very small proportion of the compounds, products or methods claimed. In the present case, the claims so lack support, and the application so lacks disclosure, that a meaningful search over the whole of the claimed scope is impossible. Consequently, the search has been carried out for those parts of the claims which appear to be supported and disclosed, namely those parts relating to the products and methods related to the compounds I-VIII (see claims 16-17, 37-39 and 42 and description pages 7-9).

**ANNEX TO THE EUROPEAN SEARCH REPORT  
ON EUROPEAN PATENT APPLICATION NO.**

EP 99 11 7908

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The members are as contained in the European Patent Office EDP file on  
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14-06-2000

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 9947518 A	23-09-1999	AU 3409999 A	11-10-1999
WO 9804551 A	05-02-1998	AU 4296397 A	20-02-1998
		CN 1226248 A	18-08-1999
		EP 0915870 A	19-05-1999
		HR 970415 A	31-08-1998

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